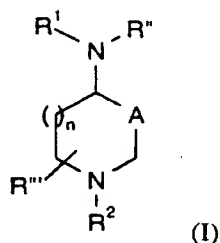


What is claimed is:

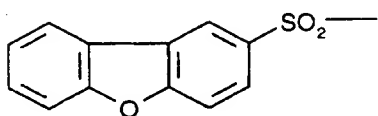
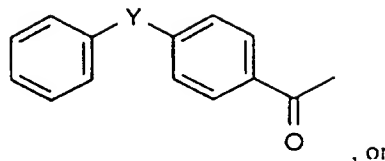
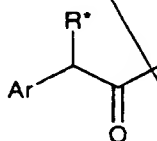
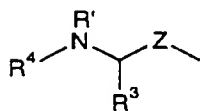
1. A compound according to formula (I):



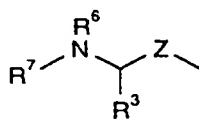
wherein:

A is C(O) or CH(OH);

R¹ is



R² is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl,
R⁵C(O)-, R⁵C(S)-, R⁵SO₂-, R⁵OC(O)-, R⁵R'NC(O)-, R⁵R'NC(S)-, adamantyl-C(O)-, or



R'' is H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;

R''' is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;

each R³ independently is H, C₂₋₆alkenyl, C₂₋₆alkynyl, Het, Ar or C₁₋₆alkyl
optionally substituted by OR', SR', NR'₂, R'NC(O)OR', CO₂R', CO₂NR'₂, N(C=NH)NH₂,
Het or Ar;

R^4 is H, C_{1-6} alkyl, C_{3-6} cycloalkyl- C_{0-6} alkyl, Ar- C_{0-6} alkyl, Het- C_{0-6} alkyl, $R^5C(O)-$, $R^5C(S)-$, R^5SO_2- , $R^5OC(O)-$, $R^5R'NC(O)-$, $R^5R'NC(S)-$, $R^5HNCH(R')C(O)-$, or $R^5OC(O)NR'CH(R')C(O)-$;

each R^5 independently is C_{3-6} cycloalkyl- C_{0-6} alkyl, Ar- C_{0-6} alkyl, Het- C_{0-6} alkyl, Ar- C_{0-6} alkoxy, Het- C_{0-6} alkoxy, or C_{1-6} alkyl optionally substituted by OR' , SR' , NR'_2 , $R'NC(O)OR^5$, CO_2R' , $CO_2NR'_2$, $N(C=NH)NH_2$, Het or Ar;

R^6 is H, C_{1-6} alkyl, Ar- C_{0-6} alkyl, or Het- C_{0-6} alkyl and R^7 is H, C_{1-6} alkyl, C_{3-6} cycloalkyl- C_{0-6} alkyl, Ar- C_{0-6} alkyl, Het- C_{0-6} alkyl, $R^5C(O)-$, $R^5C(S)-$, R^5SO_2- , $R^5OC(O)-$, $R^5R'NC(O)-$, $R^5R'NC(S)-$, $R^5HNCH(R')C(O)-$, or $R^5OC(O)NR'CH(R')C(O)-$;

or R^6 and R^7 are connected to form a pyrrolidine, a piperidine, or a morpholine ring;

each R' independently is H, C_{1-6} alkyl, Ar- C_{0-6} alkyl, or Het- C_{0-6} alkyl;

R^* is H, C_{1-6} alkyl, C_{3-6} cycloalkyl- C_{0-6} alkyl, Ar- C_{0-6} alkyl, or Het- C_{0-6} alkyl;

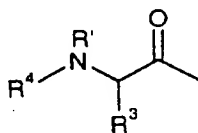
Y is a single bond or O;

each Z independently is CO or CH_2 ; and

n is 0, 1, or 2;

or a pharmaceutically acceptable salt thereof.

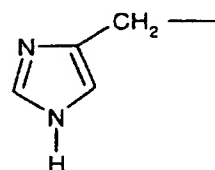
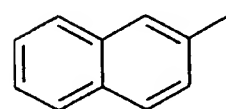
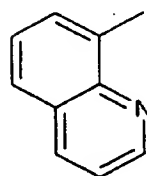
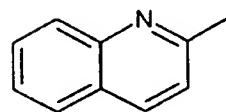
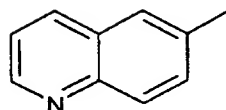
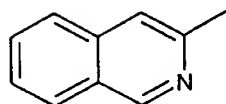
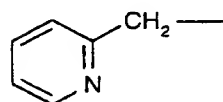
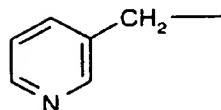
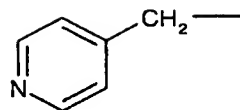
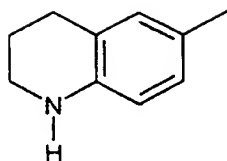
2. A compound according to claim 1 wherein R^1 is



3. A compound according to claim 2 wherein R^4 is $R^5C(O)-$, R^5SO_2- , $R^5OC(O)-$.

4. A compound according to claim 3 wherein R^5 in said R^1 group is Ar- C_{0-6} alkyl or Het- C_{0-6} alkyl.

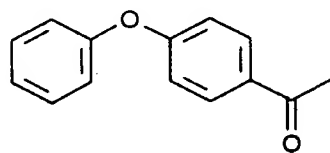
5. A compound according to claim 4 wherein R^5 in said R^1 group is phenyl or benzyl which are unsubstituted or substituted by one or two of the group consisting of Cl, Br, F, CF_3 , C_{1-4} alkyl, OH, C_{1-4} alkoxy, CN, $CONH_2$, NH_2 , or NO_2 , or substituted by methylenedioxy; or



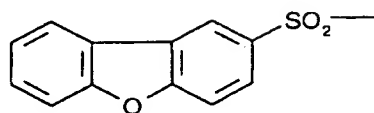
, or

6. A compound according to claim 2 wherein, in said R^1 group, R^1 is H or CH_3 and R^3 is i-butyl.

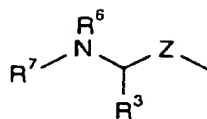
7. A compound according to claim 1 wherein R^1 is



or



8. A compound according to claim 1 wherein R^2 is

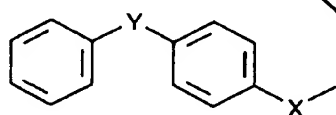


9. A compound according to claim 8 wherein R^7 is $R^5OC(O)-$.

10. A compound according to claim 9 wherein R^5 in said R^7 group is $Ar-C_{0-6}alkyl$ or $Het-C_{0-6}alkyl$.

11. A compound according to claim 10 wherein R^5 in said R^7 group is phenyl or benzyl which are unsubstituted or substituted by one or two of the group consisting of Cl, Br, F, CF_3 , $C_{1-4}alkyl$, OH, $C_{1-4}alkoxy$, CN, $CONH_2$, NH_2 , or NO_2 , or substituted by methylenedioxy; or 2-, 3-, or 4-pyridyl- CH_2- .

12. A compound according to claim 1 wherein R^2 is



in which X is CO, SO_2 , or CH_2-CO and Y is a single bond or O.

13. A compound according to claim 8 wherein, in said R^2 group, R^6 is H or CH_3 and R^3 is i-butyl.

14. A compound according to claim 1 wherein R^2 is $Ar-C_{0-6}alkyl$, $Het-C_{0-6}alkyl$, $C_{3-6}cycloalkyl-C_{0-6}alkyl$, $Ar-C_{0-6}alkyl$, $Het-C_{0-6}alkyl$, $R^5C(O)-$, $R^5C(S)-$, R^5SO_2- , $R^5OC(O)-$, $R^5R'NC(O)-$, $R^5R'NC(S)-$, $R^5HNCH(R')C(O)-$, $R^5OC(O)NR'CH(R')C(O)-$, adamantyl- $C(O)-$.

15. A compound according to claim 1 wherein A is $C(O)$.

16. A compound according to claim 1 which is:

(3RS,4RS)-4-[[N^a -(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol];

4-[[N^a -(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone];

- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(phenoxybenzamide)]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinol;
- 5 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]]-3-pyrrolidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]]-3-pyrrolidinone;
- 10 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(tert-butoxycarbonyl)]aminomethyl]pentanoyl]]-3-pyrrolidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(tert-butoxycarbonyl)]aminomethyl]pentanoyl]]-3-pyrrolidinone;
- 15 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-(aminomethyl)pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 20 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(N-tert-butoxycarbonyl)ethanoyl]aminomethyl]pentanoyl]]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(ethanoyl)aminomethyl]pentanoyl]]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(tert-butoxycarbonyl)]amino]pentanoyl]]-3-pyrrolidinol;
- 25 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(tert-butoxycarbonyl)]amino]pentanoyl]]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]]-3-pyrrolidinol;
- 30 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[[[(benzyloxycarbonyl)]amino]ethanoyl]]-3-pyrrolidinone;
- 4-[[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[[[(benzyloxycarbonyl)]amino]ethanoyl]]-3-pyrrolidinone;
- 35 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-3-tert-butoxy-[[[(benzyloxycarbonyl)]amino]propanoyl]]-3-pyrrolidinol;

- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-2-
[[[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-2-
[[[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinone;
- 5 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-
[cyclohexanepropanoyl]-3-pyrrolidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[cyclohexanepropanoyl]-3-
pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
10 [[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(4-
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
15 [[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(2-
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
20 [[(3-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(3-
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(2-pyridylcarbonyl)-
3-pyrrolidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(2-pyridylcarbonyl)-3-
pyrrolidinone;
- 25 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[4-
30 (biphenyl)ethanoyl]-3-piperidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[4-(biphenyl)ethanoyl]-3-
piperidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinol;
35 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinone;

- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-tert-butoxycarbonyl-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[[[(benzyloxycarbonyl)iso-butylamino]ethanoyl]-3-piperidinol];
- 5 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[[[(benzyloxycarbonyl)iso-butylamino]ethanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[(tert-butoxycarbonyl)amino]ethanoyl]-3-piperidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[(tert-
- 10 butoxycarbonyl)amino]ethanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-(amino)ethanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methylpentanoyl)-3-piperidinol;
- 15 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methylpentanoyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(benzoyl)-3-piperidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(benzoyl)-3-piperidinone;
- 20 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(acetyl)-3-piperidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(acetyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(2-pyridoxyacetyl)-3-piperidinol;
- 25 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(2-pyridoxyacetyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-
- 30 [(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- 35 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-pyrrolidinol;

- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-
 [(benzyloxycarbonyl)methylamino]ethanoyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-
 (phenoxy)ethanoyl]-3-pyrrolidinol;
 5 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(phenoxy)ethanoyl]-3-
 pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
 [(2-phenyl)ethanoyl]-3-pyrrolidinol;
 4-[[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-phenyl)ethanoyl]-
 10 3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-ethanoyl-3-
 pyrrolidinol;
 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-ethanoyl-3-
 pyrrolidinone;
 15 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
 (4-cyanobenzoyl)-3-pyrrolidinol;
 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-cyanobenzoyl)-3-
 pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
 20 tert-butoxycarbonyl-3-pyrrolidinol;
 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
 pyrrolidinone;
 (3RS,4RS)-4-[[N^α-3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
 tert-butoxycarbonyl-3-pyrrolidinol;
 25 4-[[N^α-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
 pyrrolidinone;
 4-[[N^α-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-
 30 methyl-2-[(benzyloxycarbonyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
 4-[[N^αidinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
 [(benzyloxycarbonyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-
 methyl-2-[[[(4-pyridinylmethoxycarbonyl)amino]pentanoyl]-3-pyrrolidinol;
 35 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(4-
 pyridinylmethoxycarbonyl)amino]pentanoyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol];

4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone];

5 (3RS,4RS)-4-[[N^α-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol];

4-[[N^α-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone];

10 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-1-(adamantyl)carbonyl]-3-pyrrolidinol];

4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-1-(adamantyl)carbonyl]-3-pyrrolidinone];

(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methyl-pentanoyl)-3-pyrrolidinol];

15 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methyl-pentanoyl)-3-pyrrolidinone];

(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-D-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol];

20 4-[[N^α-(benzyloxycarbonyl)-D-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone];

(3RS,4RS)-4-[[N^α-(tert-butoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol];

25 4-[[N^α-(tert-butoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone];

(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-N^ε-(tert-butoxycarbonyl)-L-lysine]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol];

4-[[N^α-(benzyloxycarbonyl)-N^ε-(tert-butoxycarbonyl)-L-lysine]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone];

30 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-tert-butoxycarbonyl-3-piperidinol];

4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-tert-butoxycarbonyl-3-piperidinone];

(3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(4-methylpentanoyl)-3-piperidinol];

35 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(4-methylpentanoyl)-3-piperidinone];

- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinol;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;
- 5 (3RS,4RS)-4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinol;
- 4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucyl]amino]-1-(methanesulphonyl)-
- 10 3-piperidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucyl]amino]-1-(methanesulphonyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucyl]amino]-1-(phenylsulphonyl)-3-piperidinol;
- 15 4-[[N^α-(benzyloxycarbonyl)-L-leucyl]amino]-1-(phenylsulphonyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-(8-quinolinesulphonyl)-3-pyrrolidinol;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-(8-quinolinesulphonyl)-
- 20 3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-(2-pyridylsulphonyl)-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-(2-pyridylsulphonyl)-3-pyrrolidinone;
- 25 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2-propoxy)carbonyl]-3-pyrrolidinol;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2-propoxy)carbonyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(3-methyl-1-propoxy)carbonyl]-3-pyrrolidinol;
- 30 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(3-methyl-1-propoxy)carbonyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinol;
- 35 4-[[N^α-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucyl]amino]-1-

- [(4-phenoxy)phenylsulphonyl]-3-piperidinol;
 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-
 [(4-phenoxy)phenylsulphonyl]-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 5 [[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
 4-[[N^α-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 [[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(6-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 [[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
 10 4-[[N^α-(6-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 [[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
 [[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
 15 [[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
 [[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinol;
 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
 [[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinone;
 20 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 piperidinol;
 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(2-pyridylcarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 piperidinol;
 25 4-[[N^α-(2-pyridylcarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(3-chlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 piperidinol;
 4-[[N^α-(3-chlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(2-quinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 30 piperidinol;
 4-[[N^α-(2-quinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 piperidinone;
 (3RS,4RS)-4-[[N^α-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 piperidinol;
 35 4-[[N^α-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 piperidinone;

- (3RS,4RS)-4-[[N^α-(8-quinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinol;
 4-[[N^α-(8-quinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone
 (3RS,4RS)-4-[[N^α-(3-isoquinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-
 5 3-piperidinol;
 4-[[N^α-(3-isoquinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinol;
 10 4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(acetyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinol;
 4-[[N^α-(acetyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(p-trifluoromethylbenzenesulphonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinol;
 15 4-[[N^α-(p-trifluoromethylbenzenesulphonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(6-quinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinol;
 20 4-[[N^α-(6-quinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone;
 (3RS,4RS)-4-[[2-(RS)-(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-piperidinol;
 4-[[2-(RS)-(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-piperidinone;
 25 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinol;
 4-[[N^α-(benzyloxycarbonyl)-L-leucyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinone;
 30 (3RS,4RS)-4-[[N^α-(a-toluenesulphonyl)-L-leucyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
 4-[[N^α-(a-toluenesulphonyl)-L-leucyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(2-naphthylcarbonyl)-L-leucyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
 35 4-[[N^α-(2-naphthylcarbonyl)-L-leucyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;

- (3RS,4RS)-4-[[N^α-(benzenesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
4-[[N^α-(benzenesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- 5 (3RS,4RS)-4-[[N^α-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
4-[[N^α-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- 10 (3RS,4RS)-4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentanoyl]-3-piperidinol];
4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentanoyl]-3-piperidinone];
(3RS,4RS)-4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(2-pyridinylmethoxycarbonyl)amino]pentanoyl]-3-piperidinol;
- 15 4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(2-pyridinylmethoxycarbonyl)amino]pentanoyl]-3-piperidinone;
(3RS,4RS)-4-[[N^α-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinol];
4-[[N^α-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinone];
- 20 4-[[N^α-(tert-butoxyoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinone];
4-[(L-leuciny]amino)-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinone];
- 25 (3RS,4RS)-4-[[N^α-(2-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinol];
4-[[N^α-(2-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinone];
(3RS,4RS)-4-[[N^α-(piperonylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinol];
- 30 4-[[N^α-(piperonylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinone];
(3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinol];
- 35 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinone];

- (3RS,4RS)-4-[[N^α-(2-pyridylcarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
4-[[N^α-(2-pyridylcarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 5 (3RS,4RS)-4-[[N^α-(2-nitro-a-toluenesulphonyl)-L-leucyl]amino]-1-[(2S)-4-
methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
4-[[N^α-(2-nitro-a-toluenesulphonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 10 (3RS,4RS)-4-[[N^α-(8-quinolinesulphonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
4-[[N^α-(8-quinolinesulphonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(2-naphthylcarbonyl)-L-leucyl]aminomethyl]-1-
[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
15 4-[[N^α-(2-naphthylcarbonyl)-L-leucyl]aminomethyl]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(2-quinoliny carbonyl)-L-leucyl]aminomethyl]-1-
[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
20 4-[[N^α-(2-quinoliny carbonyl)-L-leucyl]aminomethyl]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(phenylacetyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
25 4-[[N^α-(phenylacetyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-
methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
30 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2R)-4-
methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
35 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2R)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(phenylacetyl)-L-leucyl]amino]-1-[(2R)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
4-[[N^α-(phenylacetyl)-L-leucyl]amino]-1-[(2R)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

- (3RS,4RS)-4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2R)-4-methyl-2-
 [[[benzyloxycarbonyl]amino]pentyl]-3-piperidinol;
 4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2R)-4-methyl-2-
 [[[benzyloxycarbonyl]amino]pentyl]-3-piperidinone;
 5 (3RS,4RS)-4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 [[[benzyloxycarbonyl]amino]pentyl]-3-piperidinol;
 4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 [[[benzyloxycarbonyl]amino]pentyl]-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 10 [[[benzyloxycarbonyl]amino]pentyl]-3-piperidinol;
 4-[[N^α-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 [[[benzyloxycarbonyl]amino]pentyl]-3-piperidinone;
 4-[[N^α-(tert-butoxycarbonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-
 piperidinone;
 15 (3RS,4RS)-4-[[N^α-(8-quinolinesulphonyl)-L-leuciny]amino]-1-
 (benzyloxycarbonyl)-3-piperidinol;
 4-[[N^α-(8-quinolinesulphonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-
 piperidinone;
 (3RS,4RS)-4-[[N^α-(4-pyridinylacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-
 20 piperidinol;
 4-[[N^α-(4-pyridinylacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-
 piperidinone;
 (3RS,4RS)-4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-
 3-piperidinol;
 25 4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-
 piperidinone;
 (3RS,4RS)-4-[[N^α-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-
 (benzyloxycarbonyl)-3-piperidinol;
 4-[[N^α-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-
 30 piperidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(3-isoquinoliny]carbonyl)-L-leuciny]amino]-3-
 pyrrolidinol;
 1-benzyl-4-[[N^α-(3-isoquinoliny]carbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(3,4-dichlorobenzoyl)-L-leuciny]amino]-3-
 35 pyrrolidinol;
 1-benzyl-4-[[N^α-(3,4-dichlorobenzoyl)-L-leuciny]amino]-3-pyrrolidinone;

- (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leuciny]amino]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(piperonylcarbonyl)-L-leuciny]amino]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(piperonylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(6-hydroxy-2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(6-hydroxy-2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(6-quinolinylcarbonyl)-L-leuciny]amino]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(4-pyridinylcarbonyl)-L-leuciny]amino]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(4-pyridinylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 4-[[N^α-(tert-butoxycarbonyl)-L-leuciny]amino]-1-benzyloxycarbonyl-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinol;
 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(tert-butoxycarbonyl)amino]pentyl]-3-pyrrolidinone;

- 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(tert-butoxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-
[(2S)-4-methyl-2-(amino)pentyl]-3-pyrrolidinone;
- 5 (3RS,4RS)-4-[[N^α-(2-methylpropoxy)carbonyl]-L-leuciny]amino]-1-
[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(2-methylpropoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(methylamino)thiocarbonyl]-L-leuciny]amino]-1-[(2S)-4-
10 methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(methylamino)thiocarbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(phenylmethylamino)carbonyl]-L-leuciny]amino]-1-
[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 15 4-[[N^α-(phenylmethylamino)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(3,4-dichlorophenylamino)carbonyl]-L-leuciny]amino]-1-
[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(3,4-dichlorophenylamino)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-
20 2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(3,4-dichlorophenylamino)-L-leuciny]amino]-3-
pyrrolidinol;
- 1-benzyl-4-[[N^α-(3,4-dichlorophenylamino)-L-leuciny]amino]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leuciny]amino]-1-
25 [(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-
methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leuciny]amino]-1-
[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinol;
- 30 4-[[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leuciny]amino]-1-
[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[(acetyl)amino]pentyl]-3-pyrrolidinol;
- 4-[[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
35 [(acetyl)amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(p-
toluenesulphonyl)amino]pentyl]-3-pyrrolidinol;

- 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;
 5 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
 10 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-1-(2-phenethyl)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinol;
 1-(2-phenethyl)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-(2-phenethyl)-4-[[N^α-(2-quinolinylicarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 15 1-(2-phenethyl)-4-[[N^α-(2-quinolinylicarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-(2-phenethyl)-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 1-(2-phenethyl)-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 20 (3RS,4RS)-1-(2-phenethyl)-4-[[N^α-(α-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 1-(2-phenethyl)-4-[[N^α-(α-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-(2-phenethyl)-4-[[N^α-(2-nitro-α-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 25 1-(2-phenethyl)-4-[[N^α-(2-nitro-α-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-pyridinylicarbonyl)amino]pentyl]-3-pyrrolidinol;
 4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-pyridinylicarbonyl)amino]pentyl]-3-pyrrolidinone;
 30 (3RS,4RS)-4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinol;
 4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
 35 (3RS,4RS)-4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-imidazoleacetyl)amino]pentyl]-3-pyrrolidinol;

- 4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-imidazoleacetyl)]amino]pentyl]-3-pyrrolidinone;
(3RS,4RS)-4-[(4-phenoxybenzoyl)amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinolcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
5 1-(3-nitrobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
(3RS,4RS)-1-(2-nitrobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
10 1-(2-nitrobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
(3RS,4RS)-1-(4-cyanobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
1-(4-cyanobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
15 (3RS,4RS)-1-(4-bromobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
1-(4-bromobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
20 (3RS,4RS)-1-phenethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
1-phenethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-(3-aminobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
25 (3RS,4RS)-1-(3-benzyloxybenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
1-(3-benzyloxybenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
30 (3RS,4RS)-1-(3-hydroxybenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
1-(3-hydroxybenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
(3RS,4RS)-1-ethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
35 1-ethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
(3RS,4RS)-1-cyclopropylmethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-

- leucinyl]amino]-3-pyrrolidinol;
 1-cyclopropylmethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-(2-N,N-dimethylaminoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 5 1-(2-N,N-dimethylaminoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-(2-morpholinoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinonol;
 10 1-(2-morpholinoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-(2-bromobenzyl)-4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinonol;
 1-(2-bromobenzyl)-4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinonone;
 15 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
 20 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentyl]-3-pyrrolidinol;
 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(2-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
 25 4-[[N^α-(2-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(3-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
 30 4-[[N^α-(3-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
 35 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(2-nitro-*a*-toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinol;
- 5 1-benzyl-4-[[[N^α-(2-nitro-*α*-toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(phenylsulphonyl)-L-leuciny]amino]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(phenylsulphonyl)-L-leuciny]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(*a*-toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinol;
- 10 1-benzyl-4-[[N^α-(*a*-toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leuciny]amino]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leuciny]amino]-3-pyrrolidinone
 (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]amino]-3-piperidinol;
- 15 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]amino]-3-piperidinone
 (3RS,4RS)-1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leuciny]amino]-3-piperidinol;
 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leuciny]amino]-3-piperidinone;
- 20 (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylsulphonyl)]amino]-3-piperidinol;
 1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leuciny]amino]-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;
 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 25 (3RS,4RS)-4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
 4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 30 (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[2-[(*α*-toluenesulphonyl)amino]ethyl]-3-pyrrolidinol;
 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[2-[(*α*-toluenesulphonyl)amino]ethyl]-3-pyrrolidinone;
- 35 (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinol;
 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;

- (3RS,4RS)-4-[[N^α-(piperonylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinol;
 4-[[N^α-(piperonylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-
 5 [2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinol;
 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-
 [2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(*tert*-butoxycarbonyl)-L-leuciny]amino]-1-benzoyl-3-
 pyrrolidinol;
 10 4-[[N^α-(*tert*-butoxycarbonyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinol;
 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
 15 4-[[N^α-(4-carboxybenzoyl)-L-leuciny]amino]-1-[(2S)-4-
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(4-carboxybenzoyl)-L-leuciny]amino]-3-
 pyrrolidinone;
 (3RS,4RS)-1-benzyl-4-[[N^α-(4-carboxymethyl)benzoyl]-
 20 L-leuciny]amino]-3-pyrrolidinol;
 1-benzyl-4-[[N^α-(4-carboxymethyl)benzoyl)-L-leuciny]amino]-3-pyrrolidinone;
 (3RS,4RS)-4-[[N^α-[(4-carboxymethyl)benzoyl]-L-leuciny]amino]-1-
 [(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinol;
 4-[[N^α-[(4-carboxymethyl)benzoyl]-L-leuciny]amino]-1-[(2S)-4-
 25 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-1-phenethyl-4-[[N^α-(2-amino- α -toluenesulphonyl)-L-leuciny]amino]-3-
 pyrrolidinol;
 1-phenethyl-4-[[N^α-(2-amino- α -toluenesulphonyl)-L-leuciny]amino]-3-
 pyrrolidinone;
 30 (3RS,4RS)-4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-
 piperidinol;
 4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(2-quinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-
 piperidinol;
 35 4-[[N^α-(2-quinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-
 piperidinol;

- 4-[[N^α-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;
 (3RS,4RS)-4-[[[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-
 [(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentanoyl]-
 3-piperidinol];
- 5 4-[[[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-
 [(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentanoyl]-
 3-piperidinone;
 (3RS,4RS)-4-[[[3-(2-pyridyl)phenylacetyl]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinol;
 4-[[[3-(2-pyridyl)phenylacetyl]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-
 10 piperidinone;
 (3RS,4RS)-4-[[N^α-(p-trifluoromethanephensulphonyl)-
 L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinol;
 4-[[N^α-(p-trifluoromethanephensulphonyl)-
 L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinone;
 15 (3RS,4RS)-4-[[N^α-(2-naphthylsulphonyl)-
 L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinol;
 4-[[N^α-(2-naphthylsulphonyl)-
 L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(3,4-dichlorophenylsulphonyl)-
 20 L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinol;
 4-[[N^α-(3,4-dichlorophenylsulphonyl)-
 L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(methanesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-
 piperidinol;
 25 4-[[N^α-(methanesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinone;
 (3RS,4RS)-4-[[N^α-(4-fluorophenylsulphonyl)-L-leuciny]amino]-1-[3-(2-
 pyridyl)phenylacetyl]]-3-piperidinol; or
 4-[[N^α-(4-fluorophenylsulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-
 piperidinone;
 30 or a pharmaceutically acceptable salt thereof.

17. A compound according to claim 1 which is:

- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 35 [[[(benzyloxycarbonyl)amino]pentanoyl]-3-pyrrolidinone;
 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[4-(phenoxybenzamide)]-3-
 pyrrolidinone;

- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)aminomethyl]pentanoyl]-3-pyrrolidinone];
- 5 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(tert-butoxyoxycarbonyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-(aminomethyl)pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;
- 10 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(N-tert-butoxycarbonyl)ethanoyl]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(ethanoyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
- 15 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(tert-butoxycarbonyl)amino]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2R)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentanoyl]-3-pyrrolidinone];
- 20 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[(benzyloxycarbonyl)amino]ethanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-2-[[[(benzyloxycarbonyl)amino]propanoyl]-3-pyrrolidinone];
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[cyclohexanepropanoyl]-3-pyrrolidinone;
- 25 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(4-pyridinylmethoxycarbonyl)amino]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(2-pyridinylmethoxycarbonyl)amino]pentanoyl]-3-pyrrolidinone;
- 30 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(3-pyridinylmethoxycarbonyl)amino]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(2-pyridylcarbonyl)-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)amino]pentanoyl]-3-piperidinone];
- 35 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[4-(biphenyl)ethanoyl]-3-piperidinone;

- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
piperidinone;
5 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[[[(benzyloxycarbonyl)]iso-
butylamino]ethanoyl]-3-piperidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(tert-
butoxycarbonyl)amino]ethanoyl]-3-piperidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(amino)ethanoyl]-3-
10 piperidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-
piperidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(benzoyl)-3-piperidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(acetyl)-3-piperidinone;
15 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridoxyacetyl)-3-
piperidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-
[(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-
20 piperidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-
[(benzyloxycarbonyl)methylamino]ethanoyl]-3-pyrrolidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(phenoxy)ethanoyl]-3-
pyrrolidinone;
25 4-[[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-phenyl)ethanoyl]-
3-pyrrolidinone;
4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-ethanoyl-3-
pyrrolidinone;
4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-cyanobenzoyl)-3-
30 pyrrolidinone;
4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
pyrrolidinone;
4-[[N^α-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
pyrrolidinone;
35 4-[[N^α-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

- 4-[[N^αidinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[4-
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 5 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[1-
10 (adamantyl)carbonyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methyl-pentanoyl)-3-
pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-D-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 15 4-[[N^α-(tert-butoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone
- 4-[[N^α-(benzyloxycarbonyl)-N^ε-(tert-butoxycarbonyl)-L-lysine]amino]-1-
[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-tert-butoxycarbonyl-3-
20 piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(4-methylpentanoyl)-3-
piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[2-
(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;
- 25 4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(methanesulphonyl)-3-
piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(phenylsulphonyl)-3-
30 piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(8-quinolinesulphonyl)-
3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(2-pyridylsulphonyl)-3-
pyrrolidinone;
- 35 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-
[(2-propoxy)carbonyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(3-methyl-1-

- propoxy)carbonyl]-3-pyrrolidinone;
 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinone;
 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-
 5 [(4-phenoxy)phenylsulphonyl]-3-piperidinone;
 4-[[N^α-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 [[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
 4-[[N^α-(6-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 [[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
 10 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
 [[[benzyloxycarbonyl]]amino]pentanoyl]-3-pyrrolidinone;
 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
 [[[benzyloxycarbonyl]]methylamino]pentanoyl]-3-pyrrolidinone;
 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;
 15 4-[[N^α-(2-pyridylcarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;
 4-[[N^α-(3-chlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;
 4-[[N^α-(2-quinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 piperidinone;
 4-[[N^α-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 20 piperidinone;
 4-[[N^α-(8-quinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone
 4-[[N^α-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 piperidinone;
 4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 25 piperidinone;
 4-[[N^α-(acetyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;
 4-[[N^α-(p-trifluoromethylbenzenesulphonyl)-L-leuciny]amino]-1-(4-
 methylpentyl)-3-piperidinone;
 4-[[N^α-(6-quinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-
 30 piperidinone;
 4-[[2-(RS)-[(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-
 piperidinone;
 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-
 [2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinone;
 35 4-[[N^α-(a-toluenesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-
 piperidinone;

- 4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl))-3-piperidinone;
- 4-[[N^α-(benzenesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl))-3-piperidinone;
- 5 4-[[N^α-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl))-3-piperidinone;
- 4-[3-[(2-pyridyl)phenylacetyl)]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 4-[3-[(2-pyridyl)phenylacetyl)]amino]-1-[(2S)-4-methyl-2-[[2-(pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 10 4-[[N^α-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(tert-butoxyoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 15 4-[(L-leuciny]amino)-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(2-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(piperonylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 20 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(2-pyridylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 25 4-[[N^α-(2-nitro-a-toluenesulphonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(8-quinolinesulphonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 30 4-[[N^α-(2-quinolinylcarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 35 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2R)-4-methyl-2-
 [[[benzyloxycarbonyl]]amino]pentyl]-3-piperidinone;
 4-[[N^α-(phenylacetyl)-L-leuciny]amino]-1-[(2R)-4-methyl-2-
 [[[benzyloxycarbonyl]]amino]pentyl]-3-piperidinone;
 5 4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2R)-4-methyl-2-
 [[[benzyloxycarbonyl]]amino]pentyl]-3-piperidinone;
 4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 [[[benzyloxycarbonyl]]amino]pentyl]-3-piperidinone;
 4-[[N^α-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
 10 [[[benzyloxycarbonyl]]amino]pentyl]-3-piperidinone;
 4-[[N^α-(tert-butoxycarbonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-
 piperidinone;
 4-[[N^α-(8-quinolinesulphonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-
 piperidinone;
 15 4-[[N^α-(4-pyridinylacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-
 piperidinone;
 4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-
 piperidinone;
 4-[[N^α-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-
 20 piperidinone;
 1-benzyl-4-[[N^α-(3-isoquinoliny]carbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(3,4-dichlorobenzoyl)-L-leuciny]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(2-quinoliny]carbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinone;
 25 1-benzyl-4-[[N^α-(2-quinoliny]carbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(piperonylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(6-hydroxy-2-naphthylcarbonyl)-L-leuciny]amino]-3-
 pyrrolidinone;
 30 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(6-quinoliny]carbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(4-imidazoleacetyl)-L-leuciny]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(4-pyridinylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
 4-[[N^α-(tert-butoxycarbonyl)-L-leuciny]amino]-1-benzyloxycarbonyl-3-
 35 pyrrolidinone;
 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2R)-4-methyl-2-
 [[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;

- 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(tert-butoxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-
[(2S)-4-methyl-2-(amino)pentyl]-3-pyrrolidinone;
- 5 4-[[N^α-(2-methylpropoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxy)carbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(methylamino)thiocarbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxy)carbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(phenylmethylamino)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-
10 [[[(benzyloxy)carbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(3,4-dichlorophenylamino)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-
2-[[[(benzyloxy)carbonyl)]amino]pentyl]-3-pyrrolidinone;
- 1-benzyl-4-[[N^α-(3,4-dichlorophenylamino)-L-leuciny]amino]-3-pyrrolidinone;
- 4-[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-
15 methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leuciny]amino]-1-
[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[(acetyl)amino]pentyl]-3-pyrrolidinone;
- 20 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(p-
toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-
[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(a-
25 toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N^α-(2-quinolinylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N^α-(α-toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 30 1-(2-phenethyl)-4-[[N^α-(2-nitro-α-toluenesulphonyl)-L-leuciny]amino]-3-
pyrrolidinone;
- 4-[[N^α-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(4-
pyridinylcarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(p-
35 toluenesulphonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(4-
imidazoleacetyl)]amino]pentyl]-3-pyrrolidinone;

- 1-(3-nitrobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-nitrobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 5 1-(4-cyanobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(4-bromobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-phenethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 10 1-(3-aminobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(3-benzyloxybenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 15 1-(3-hydroxybenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-ethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-cyclopropylmethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 20 1-(2-N,N-dimethylaminoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-morpholinoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-bromobenzyl)-4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 25 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentyl]-3-pyrrolidinone;
- 30 4-[[N^α-[(2-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-[(3-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 35 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

- 1-benzyl-4-[[[N^α-(2-nitro- α -toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(phenylsulphonyl)-L-leuciny]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(α -toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leuciny]amino]-3-pyrrolidinone
 5 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]amino]-3-piperidinone
 1-benzyl-4-[[N^α-(2-quinoliny]carbonyl)-L-leuciny]amino]-3-piperidinone;
 1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leuciny]amino]-3-piperidinone;
 4-[[N^α-(benzyloxycarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-
 [[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
 10 4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-
 [(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-
 3-pyrrolidinone;
 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-
 [2-[(α -toluenesulphonyl)amino]ethyl]-3-pyrrolidinone;
 15 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;
 4-[[N^α-(piperonylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;
 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-
 [2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinone;
 4-[[N^α-(*tert*-butoxycarbonyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;
 20 4-[[N^α-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
 4-[[N^α-(4-carboxybenzoyl)-L-leuciny]amino]-1-[(2S)-4-
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(4-carboxybenzoyl)-L-leuciny]amino]-3-
 25 pyrrolidinone;
 1-benzyl-4-[[N^α-(4-carboxymethyl)benzoyl]-L-leuciny]amino]-3-pyrrolidinone;
 4-[[N^α-[(4-carboxymethyl)benzoyl]-L-leuciny]amino]-1-[(2S)-4-
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
 1-phenethyl-4-[[N^α-(2-amino- α -toluenesulphonyl)-L-leuciny]amino]-3-
 30 pyrrolidinone;
 4-[[N^α-(2-naphthylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;
 4-[[N^α-(2-quinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;
 4-[[N^α-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;
 4-[[[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-[(2S)-4-methyl-2-
 35 [[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
 4-[[[3-(2-pyridyl)phenylacetyl]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-
 piperidinone;

4-[[N^α-(p-trifluoromethanesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

4-[[N^α-(2-naphthylsulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

5 4-[[N^α-(3,4-dichlorophenylsulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

4-[[N^α-(methanesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

or

10 4-[[N^α-(4-fluorophenylsulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

or a pharmaceutically acceptable salt thereof.

A man. B 15 ~~18. A pharmaceutical composition comprising a compound according to any one of claims 1 to 17 and a pharmaceutically acceptable carrier.~~

19. A method of inhibiting a cysteine protease which comprises administering a compound according to claim 1.

20 20. A method according to claim 19 wherein the cysteine protease is cathepsin K.

21. A method of inhibiting bone loss which comprises administering a compound according to claim 1.

25 22. A method of treating osteoporosis which comprises administering a compound according to claim 1.

30 23. A method of treating gingival or periodontal disease which comprises administering a compound according to claim 1.

24. A method of treating a disease characterized by excessive cartilage or matrix degradation which comprises administering a compound according to claim 1.

35 25. A method according to claim 24 wherein said disease is osteoarthritis or rheumatoid arthritis.

26. A compound according to any one of claims 1 to 17 for use as a medicament.

5 27. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of diseases in which inhibition of a cysteine protease is a factor.

10 28. The use of a compound according to claim 27 wherein the cysteine protease is cathepsin K.

29. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the inhibition of bone loss.

15 30. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of osteoporosis.

31. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of gingival or periodontal disease.

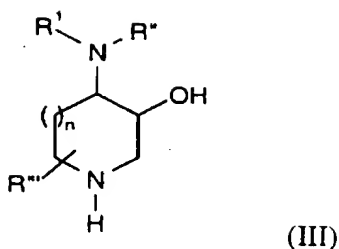
20 32. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of diseases characterized by excessive cartilage or matrix degradation.

25 33. The use of a compound according to claim 32 wherein the disease characterized by excessive cartilage or matrix degradation is osteoarthritis or rheumatoid arthritis.

30 34. A process for preparing a compound of the formula (I) as defined in claim 1, which process comprises:

(A) for compounds in which A is CH(OH):

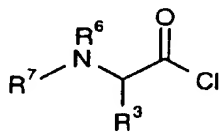
(i) reacting a compound of the formula (III):



or a salt thereof,

wherein R^1 , R'' , R''' and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with:

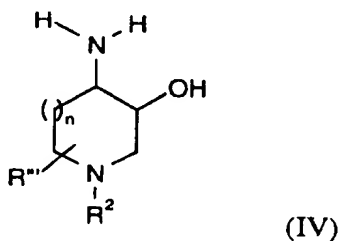
- 5 (a) $R^5C(O)Cl$, in which R^5 is as defined in formula (I) of claim 1; or
- (b) $R^5C(O)OH$, in which R^5 is as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or
- (c) $R^5C(O)H$, in which R^5 is as defined in formula (I) of claim 1, followed by reduction; or
- 10 (d) $R^5OC(O)Cl$, in which R^5 is as defined in formula (I) of claim 1, in the presence of base; or
- (e) R^5SO_2Cl , in which R^5 is as defined in formula (I) of claim 1, in the presence of base; or



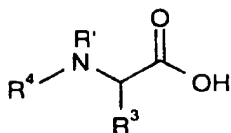
15 (f) wherein R^3 , R^6 and R^7 are as defined in formula (I) of claim 1; or

(g) adamantyl- $C(O)Cl$;

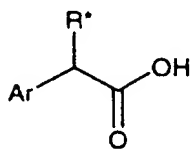
(ii) reacting a compound of the formula (IV):



wherein R^2 , R''' and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with:

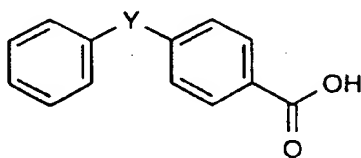


(a) , in which R^3 , R^4 and R^1 are as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or

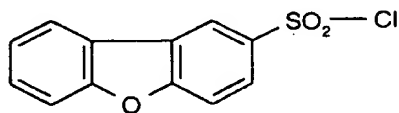


(b) , in which R^* is as defined in formula (I)

5 of claim 1, in the presence of EDC and HOBT; or

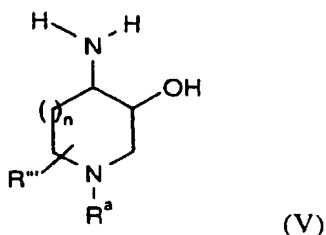


(c) , in which Y is as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or



(d) ;

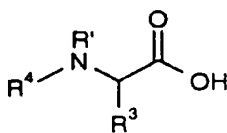
(iii) reacting a compound of the formula (V):



(V)

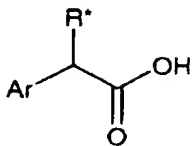
15

wherein R''' and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, and R^a is C_{1-6} alkyl, C_{3-6} cycloalkyl- C_{0-6} alkyl, Ar- C_{0-6} alkyl, or Het- C_{0-6} alkyl with:

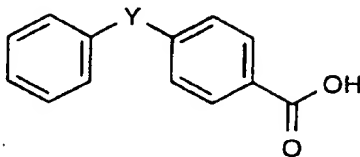


(a) , in which R^3 , R^4 and R^1 are as defined

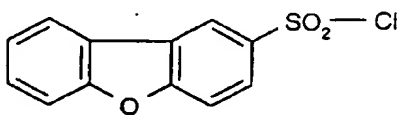
20 in formula (I) of claim 1, in the presence of EDC and HOBT; or



(b) , in which R* is as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or



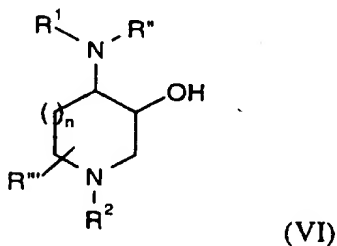
5 (c) , in which Y is as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or



(d)

10 (B) for compounds in which A is C(O):

(i) reacting a compound of the formual (VI):



(VI)

15 wherein R¹, R², R³, R⁴ and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with an oxidizing agent;

20 and thereafter removing any protecting groups and optionally forming a pharmaceutically acceptable salt.